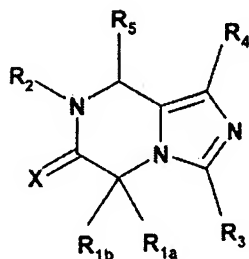


Claims 1-27 (cancelled)

Claim 28 (Currently Amended) A compound of the formula



wherein

X is oxygen or H₂;

R_{1a} is a monocyclic or bicyclic aryl or, monocyclic heteroaryl;

R_{1b} is hydrogen, alkyl, or aralkyl;

R₂ is R₆-(CHR₇)_p in which

R₆ is alkyl, cycloalkyl, aryl or monocyclic heterocyclyl;

R₇ is hydrogen, alkyl, aryl, monocyclic heteroaryl or aralkyl;

p is zero or an integer from 1 to 4;

R₃ and R₄ are independently hydrogen; or

R₄-C may be replaced by nitrogen;

R₅ is hydrogen;

in which each alkyl is optionally substituted with halo, hydroxy, cycloalkyl, alkanoyl, alkoxy, alkyloxyalkoxy, alkanoyloxy, amino, alkylamino, dialkylamino, acylamino, carbamoyl, thiol, alkylthio, alkylthiono, sulfonyl, sulfonamido, sulfamoyl, nitro, cyano, carboxy, alkoxycarbonyl, aryl, alkenyl, alkynyl, araloxy, guanidino and monocyclic heterocyclyl; and

each aryl is optionally substituted with alkyl, trifluoromethyl, cycloalkyl, halo, hydroxy, alkoxy, acyl, alkanoyloxy, aryloxy, amino, thiol, alkylthio, arylthio, nitro, cyano, carboxy, alkoxycarbonyl, carbamoyl, alkylthiono, sulfonyl, sulfonamido and monocyclic heterocyclyl; and

each cycloalkyl is optionally substituted with alkyl, halo, oxo, hydroxy, alkoxy, alkanoyl, acylamino, carbamoyl, alkylamino, dialkylamino, thiol, alkylthio, nitro, cyano, carboxy, alkoxycarbonyl, sulfonyl, sulfonamido, sulfamoyl and monocyclic heterocyclyl; and

each heterocyclyl and heteroaryl are independently optionally substituted with alkyl, hydroxy, halo, oxo, amino, alkylamino, dialkylamino, alkoxy, cycloalkyl, carboxy, alkoxy carbonyl, mercapto, cyano, nitro, sulfamoyl, sulfonamido, aryl, alkanoyloxy, aroyloxy, arylthio, aryloxy, alkylthio, formyl, carbamoyl, aryl and arylalkyl; and

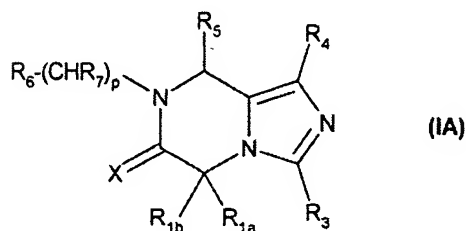
each heteroaryl is selected from 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, 2-furyl or 3-furyl; and

each heterocyclyl is selected from morpholinyl, 2-thienyl, 3-thienyl, piperidinyl, 2-furyl, 3-furyl, 2-pyridyl, 3-pyridyl or 4-pyridyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 29-30 (Cancelled).

Claim 31 (Previously Presented) The compound according to claim 28 of formula IA



wherein

X is oxygen or H₂;

R_{1a} is monocyclic or bicyclic aryl or monocyclic heteroaryl;

R_{1b} is hydrogen, lower alkyl or aralkyl;

R₅ is cycloalkyl, aryl or monocyclic heteroaryl;

R₇ is hydrogen or lower alkyl;

p is zero or an integer of 1 or 2;

R₃, R₄ and R₅ are hydrogen;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

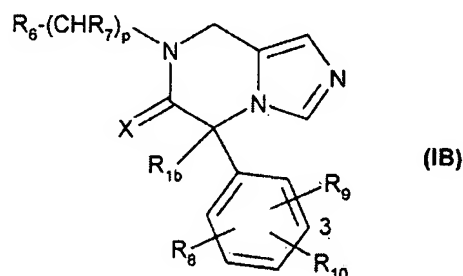
Claim 32 (Previously Presented) The compound according to claim 31 wherein

R_{1a} is monocyclic aryl;

R_{1b} is hydrogen, lower alkyl or aralkyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 33 (Previously Presented) The compound according to claim 32 of formula IB



wherein

X is oxygen or H_2 ;

R_{1b} is hydrogen, lower alkyl or aralkyl;

R_6 is cycloalkyl, aryl or monocyclic heteroaryl;

R_7 is hydrogen or lower alkyl;

p is zero or an integer of 1 or 2;

R_8 , R_9 and R_{10} are independently hydrogen, hydroxy, halogen, cyano, nitro, trifluoromethyl, optionally substituted alkyl, cycloalkyl, optionally substituted amino, alkoxy, alkylthio, carboxy, sulfonyl, carbamoyl, aryl, aryloxy, arylthio or monocyclic heterocyclyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 34 (Previously Presented) The compound according to claim 33 of wherein

X is oxygen or H_2 ;

R_{1b} is hydrogen, lower alkyl or aralkyl;

R_6 is cycloalkyl, aryl or monocyclic heteroaryl;

R_7 is hydrogen or lower alkyl;

p is an integer of 1;

R₈ is hydrogen;

R₉ is hydrogen, halogen, cyano or trifluoromethyl;

R₁₀ is halogen, cyano or trifluoromethyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 35 (Previously Presented) The compound according to claim 34 wherein

X is oxygen;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 36 (Previously Presented) The compound according to claim 34 wherein

R₆ is C₃₋₆cycloalkyl, monocyclic aryl or monocyclic heteroaryl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 37 (Previously Presented) The compound according to claim 34 wherein

R₁₀ is located at the 3-position;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 38 (Previously Presented) The compound according to claim 28 which is selected from:

4-(7-Cyclopropylmethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-(7-Methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-(7-Benzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-(7-Allyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-(6-Oxo-7-propyl-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-(7-Isopropyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-{7-[2-(4-Fluoro-phenyl)-ethyl]-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl}-benzonitrile;

4-[7-(3-Morpholin-4-yl-propyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

7-(4-Methoxy-benzyl)-5-(4-thiophen-3-yl-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

4-[7-(4-Methyl-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

4-[7-(4-Chloro-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-[6-Oxo-7-(4-trifluoromethyl-benzyl)- 5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzo
 nitrile;
 4-[6-Oxo-7-(3-methyl-benzyl)- 5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-[6-Oxo-7-(4-fluoro-benzyl)- 5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-[6-Oxo-7-(3-trifluoromethyl-benzyl)- 5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-[6-Oxo-7-(3, 4-dichloro-benzyl)- 5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-(7-Cyclopropyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 4-(7-Cyclohexyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 4-(7-Cyclopentyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 4-[7-(2-Methoxyethyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-[7-(3-Methoxypropyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-(6-Oxo-7-pyridin-4-ylmethyl-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 7-Benzyl-5-phenyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-Methyl-5-phenyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-(4-methoxy-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-cyclopropylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-Benzyl-5-(4-bromo-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-(4-chloro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-(4-trifluoromethyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-(4-methoxy-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-(4-fluoro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-cyclohexyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-methoxy-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-cyclopropylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-Benzyl-5-(3-bromo-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

5-(3-Bromo-phenyl)-7-(4-methoxy-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-chloro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-methyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-trifluoromethyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(3-trifluoromethyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(3-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(3-methyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-methoxy-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-chloro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(3-chloro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-methyl-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-(4-fluoro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-thiophen-2-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-furan-2-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-thiophen-3-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-furan-3-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-pyridin-3-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-pyridin-2-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-pyridin-4-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-cyclohexylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 4-[5-(3-Bromo-phenyl)-6-oxo-5,6-dihydro-8H-imidazo[1,5-a]pyrazin-7-ylmethyl]-piperidine-1-carboxylic acid *t*-butyl ester;
 5-(3-Bromo-phenyl)-7-piperidin-4-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 (*R*)-5-(3-Bromo-phenyl)-7-((*R*)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 (*S*)-5-(3-Bromo-phenyl)-7-((*R*)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 (*R*)-5-(3-Bromo-phenyl)-7-((*S*)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 (*S*)-5-(3-Bromo-phenyl)-7-((*S*)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

(R)-5-(4-Bromo-phenyl)-7-((R)-1-phenyl-ethyl)-7, 8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 (S)-5-(4-Bromo-phenyl)-7-((R)-1-phenyl-ethyl)-7, 8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 (R)-5-(4-Bromo-phenyl)-7-((S)-1-phenyl-ethyl)-7, 8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 (S)-5-(4-Bromo-phenyl)-7-((S)-1-phenyl-ethyl)-7, 8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 4-[(R)-6-Oxo-7-((S)-1-phenyl-ethyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 4-[(S)-6-Oxo-7-((S)-1-phenyl-ethyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 7-Benzyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-(4-Methyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-(4-Fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 3-(7-Benzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 3-[7-(4-Methyl-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 3-[7-(4-Fluoro-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 3-[7-(4-Chloro-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 3-[7-(4-Methoxy-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 3-[7-(4-Fluoro-phenethyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
 3-(7-Phenethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 3-(7-Cyclopropylmethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 5-(4'-Chloro-biphenyl-4-yl)-7-(4-methoxy-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-(4-Methoxy-benzyl)-5-(4-thiophen-3-yl-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-Cyclopropylmethyl-5-(4-thiophen-3-yl-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-Benzyl-5-(4'-fluoro-biphenyl-3-yl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-Biphenyl-4-yl-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 7-Benzyl-5-biphenyl-3-yl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 Methyl 4-(7-benzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzoate;
 4-(7-Benzyl-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
 5-(4-Bromo-phenyl)-7-cyclopropylmethyl-5-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(3-Bromo-phenyl)-7-cyclopropylmethyl-5-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
 5-(4-Bromo-phenyl)-7-(4-fluoro-benzyl)-5-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

4-[7-(4-Fluoro-benzyl)-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

4-[(R)-7-[(S)-1-(4-Fluoro-phenyl)-ethyl]-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

4-[(S)-7-[(S)-1-(4-Fluoro-phenyl)-ethyl]-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

5-Benzyl-5-(4-bromo-phenyl)-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

4-(5,7-Dibenzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-(5-Benzyl-7-cyclopropylmethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

5-(4-Bromophenyl)-7-(4-methoxy-benzyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]-pyrazine;

or a pharmaceutically acceptable salt thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

Claim 39 (Withdrawn) A method for the inhibition of aldosterone synthase activity in mammals, which method comprises

administering to a mammal in need thereof a therapeutically effective amount of a the compound of claim 28.

Claim 40 (Withdrawn) A method for the prevention and/or treatment of conditions associated with aldosterone synthase activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 28.

Claim 41 (Withdrawn) The method according to claim 40, which method comprises administering said compound in combination with a therapeutically effective amount of anti-obesity agent, anti-hypertensive agent, inotropic agent or hypolipidemic agent.

Claim 42 (Withdrawn) A method for the treatment of hypokalemia, hypertension, congestive heart failure, atherosclerosis, coronary heart diseases and post myocardial infarction, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 28.

Claim 43 (Withdrawn) A method for the treatment of restenosis, increased formation of collagen, fibrosis, and remodeling following hypertension and endothelial dysfunction, which

method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 28.

Claim 44 (Withdrawn) A method for the treatment of renal failure and nephropathy, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 28.

Claim 45 (Withdrawn) A method for the treatment of syndrome X and obesity, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 28.

Claim 46 (Previously Presented) A pharmaceutical composition, comprising:

the compound of claim 28 and

one or more pharmaceutically acceptable carriers.

Claim 47-49 (Cancelled).

Claim 50 (Previously Presented) The compound according to claim 28 wherein

X is oxygen or H₂;

R_{1a} is a monocyclic or bicyclic aryl optionally substituted with halo, cyano, alkoxy, alkyl optionally substituted with halo, cycloalkyl and alkoxycarbonyl;

R_{1b} is hydrogen, alkyl, or aralkyl;

R₂ is R₆-(CHR₇)_p- in which

R₆ is cycloalkyl; alkyl optionally substituted with alkoxy and halo; aryl optionally substituted with halo, alkoxycarbonyl, alkoxy, alkyl, alkyl substituted with halo; or a monocyclic heterocyclyl optionally substituted with alkyl and alkoxycarbonyl;

R₇ is hydrogen, alkyl, aryl or aralkyl;

p is zero or an integer from 1 to 4;

R₃ and R₄ are independently hydrogen; or

R₄-C may be replaced by nitrogen;

R₅ is hydrogen;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.